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FILE LAST UPDATED: 25 Jan 2001 (20010125/ED)

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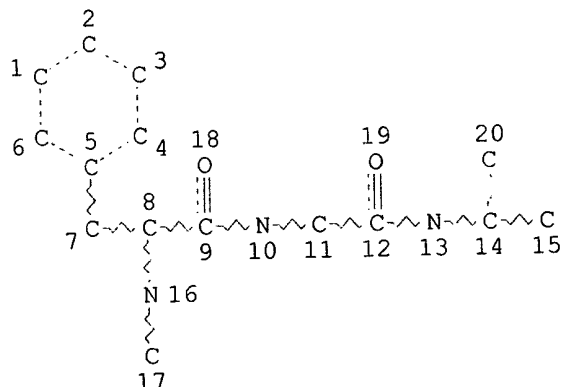
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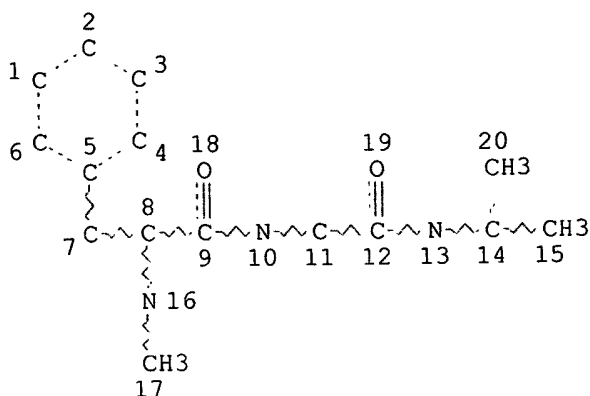
L1 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
L5 139936 SEA FILE=REGISTRY SSS FUL L1
L6 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
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 L8 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

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=> d ibib abs hitrn l8 1-12

L8 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1999:592082 HCAPLUS
 DOCUMENT NUMBER: 131:307316
 TITLE: .delta.-Opioid receptor antagonist inhibits immunomodulation by met-enkephalin analogs
 AUTHOR(S): Singh, Vijay K.; Bajpai, Kirti; Narayan, Prem; Yadav, Virendra S.; Dhawan, Vikas C.; Haq, Wahajul; Mathur, Krishna B.; Agarwal, Shyam S.
 CORPORATE SOURCE: Department of Immunology, Sanjay Gandhi Post-Graduate Institute of Medical Sciences, Lucknow, 226 014, India
 SOURCE: NeuroImmunoModulation (1999), 6(5), 355-360
 CODEN: NROIEM; ISSN: 1021-7401
 PUBLISHER: S. Karger AG
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The methionine-enkephalin (Met-enkephalin, Tyr-Gly-Gly-Phe-Met) analogs Tyr-D-Ala-Gly-MePhe-Met-NHC3H7-iso (1) and Tyr-D-Ala-Gly-MePhe-Gly-NHC3H7-iso (2) have been shown to enhance human T cell proliferation in in vitro treatment. Their immunomodulatory activities were completely blocked by naloxone, an opioid antagonist. Now we demonstrate that a selective .delta.-opioid receptor antagonist, ICI-174,864, completely blocks enhancement of T cell proliferation by analogs (1) and (2). The T cell-stimulatory effect was only partially inhibited by the .mu.-receptor-selective antagonist, .beta.-funaltrexamine hydrochloride. The .kappa.-opioid receptor antagonist, nor-binaltorphimine dihydrochloride, showed no effect on T cell-proliferation stimulated by analogs (1) and (2). These observations suggest that analogs (1) and (2) of Met-enkephalin stimulate T cell proliferation predominantly via .delta.-opioid receptor present on T cells.

IT 83471-75-4 156125-05-2

RL: BAC (Biological activity or effector, except adverse); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(.delta.-opioid receptor antagonist inhibits immunomodulation by
met-enkephalin analogs)

REFERENCE COUNT: 46

REFERENCE(S): (1) Bajpai, K; Immunopharmacology 1997, V35, P213
HCAPLUS
(2) Bajpai, K; Immunopharmacology 1998, V38, P237
HCAPLUS
(3) Bajpai, K; Int J Immunopharmacol 1995, V17, P207
HCAPLUS
(4) Biswas, S; Int J Immunopharmacol 1997, V19, P341
HCAPLUS
(5) Brown, S; J Immunol 1985, V134, P3384 HCAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:634657 HCAPLUS
DOCUMENT NUMBER: 129:316540
TITLE: Synthesis and opioid activity of novel tetrapeptides
analogous to sequence (1-4) of dermorphin
AUTHOR(S): Naqvi, T.; Raghubir, R.; Haq, W.; Tripathi, A.;
Patnaik, G. K.; Mathur, K. B.
CORPORATE SOURCE: Division of Biopolymers, Central Drug Research
Institute, Lucknow, 226 001, India
SOURCE: Neuropeptides (Edinburgh) (1998), 32(4), 333-337
CODEN: NRPPDD; ISSN: 0143-4179
PUBLISHER: Churchill Livingstone
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Seven new tetrapeptides analogous to (1-4) sequence of dermorphin were
synthesized and evaluated for their opioid activity. The peptides were
synthesized by the soln. phase method. Their opioid activity revealed
that peptides H-Tyr-D-Ala-Phe-Gly-NHNHPh (I) and H-Tyr-D-Ala-MePhe-Gly-
NHCHMe2 were the most potent in the analgesia test as well as in the
peripheral assays. Peptide I was most active in the guinea pig ileum
assay, whereas peptide H-Tyr-D-Ala-MePhe-Gly-NHCH2Ph was 2763 times more
selective for .mu.-receptors.

IT 214832-69-6P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and opioid activity of dermorphin tetrapeptide analogs)

IT 214832-62-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and opioid activity of dermorphin tetrapeptide analogs)

L8 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1997:64577 HCAPLUS
DOCUMENT NUMBER: 126:166629
TITLE: Immunomodulation by two potent analogs of
Met-enkephalin
AUTHOR(S): Bajpai, K.; Singh, V. K.; Dhawan, V. C.; Haq, W.;
Mathur, K. B.; Agarwal, S. S.
CORPORATE SOURCE: Department of Immunology, Sanjay Gandhi Post Graduate
Institute of Medical Sciences, Lucknow, 226 014, India
SOURCE: Immunopharmacology (1997), 35(3), 213-220
CODEN: IMMUDP; ISSN: 0162-3109
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Met-enkephalin (Tyr-Gly-Gly-Phe-Met) and its more stable analogs,
Tyr-D-Ala-Gly-MePhe-Met-NHC3H7-iso (1) and Tyr-D-Ala-Gly-MePhe-Gly-NHC3H7-
iso (2) significantly enhanced human T-cell proliferation in vitro after 5
days of incubation in the absence of mitogen. The activity was completely
inhibited by naloxone, an opioid antagonist. These peptides significantly

enhanced human active T-cell rosette (CD2R) also on in vitro treatment. Furthermore, these analogs stimulated interleukin-2 prodn. by human peripheral blood mononuclear cells in vitro which was completely inhibited by naloxone. These observations suggest that human T-cells bear receptors for Met-enkephalin on their surface. Such findings may provide a link between the central nervous system and the immune system.

IT 83471-75-4 156125-05-2

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(immunomodulation by two potent analogs of Met-enkephalin)

L8 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1995:562524 HCAPLUS

DOCUMENT NUMBER: 122:306860

TITLE: Immunomodulatory activity of Met-enkephalin and its two potent analogs

AUTHOR(S): Bajpai, K.; Singh, V. K.; Agarwal, S. S.; Dhawan, V. C.; Naqvi, T.; Haq, W.; Mathur, K. B.

CORPORATE SOURCE: Dep. Immunol., Sanjay Gandhi Post Grad. Inst. Med. Sci., Lucknow, 226 014, India

SOURCE: Int. J. Immunopharmacol. (1995), 17(3), 207-12
CODEN: IJIMDS; ISSN: 0192-0561

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of Met-enkephalin (Met-Enk), a delta receptor binding opioid peptide, and its more stable synthetic analogs, Tyr-D-Ala-Gly-MePhe-Met-NHC3H7-iso (analog 1), Tyr-D-Ala-Gly-MePhe-Gly-NHC3H7-iso (analog 2) and Tyr-D-Ala-Gly-MePhe-Gly-NHCH2C6H5 (analog 3), on human T-cell transformation and natural killer (NK) cell cytotoxicity have been evaluated. Analogs 1 and 2 have been as potent as Met-Enk in stimulating T-cell transformation and augmenting NK cell cytotoxicity. Analog 3 had no effect on T-cell transformation and NK cell cytotoxicity. Proliferative response was measured by 3H-thymidine uptake after 5 days of incubation. The kinetics of the T-cell transformation response (peak 5th day) is similar to those for in vitro T-cell responses to specific antigens rather than via polyclonal activation.

IT 83471-75-4 156125-05-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enkephalin analog immunomodulatory activity)

L8 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1994:455624 HCAPLUS

DOCUMENT NUMBER: 121:55624

TITLE: Lymphokines production by concanavalin A-stimulated mouse splenocytes: modulation by Met-enkephalin and a related peptide

AUTHOR(S): Singh, Savita; Singh, Prati Pal; Dhawan, V. C.; Haq, W.; Mathur, K. B.; Dutta, G. P.; Srimal, R. C.; Dhawan, B. N.

CORPORATE SOURCE: Division of Microbiology, Central Drug Research Institute, Post Box No. 173, Lucknow-226 001, India

SOURCE: Immunopharmacology (1994), 27(3), 245-51
CODEN: IMMUDP; ISSN: 0162-3109

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Methionine-enkephalin (ME) and its synthetic congener Tyr-D-Ala-Gly-Me-Phe-Gly-NH.C3H7-iso (82/205), in a concn.-dependent biphasic manner modulated the Con A-stimulated phagocytosis-promoting (PP)-activity elaboration in the culture supernatants of mouse splenocytes in vitro. Both these peptides at 1.times.10⁻⁵ and 1.times.10⁻⁶ M inhibited the prodn. of PP activity; conversely, at 1.times.10⁻⁷-1.times.10⁻⁹ M they augmented it. Peptide 82/205 was nearly 1.2-fold more inhibitory and approx. 1.8-fold more potent in augmenting the PP activity elaboration. The PP activity appeared to be due to lymphokines (LK) gamma interferon and interleukin-4 as the neutralizing concns. of monoclonal antibodies against these LK inhibited it. Cycloheximide (50.0 .mu.g/mL) completely inhibited the

prodn. of LK indicating their de novo synthesis. The peptides appeared to exert their inhibitory and augmenting effects via .delta.-and .mu.-opioid receptors, resp., as pretreatment of splenocytes with 100-fold higher (1.times.10⁻³ M) concn. of naloxone was required to block their inhibitory effect; the augmenting effect was blocked by 1.times.10⁻⁵ M only. None of the peptides or naloxone could directly stimulate the splenocytes for PP-LK elaboration.

IT 156125-05-2

RL: BIOL (Biological study)

(lymphokine formation and phagocytosis by Con A-stimulated splenocyte modulation by)

L8 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:234602 HCAPLUS

DOCUMENT NUMBER: 118:234602

TITLE: Syntheses and circular dichroism (CD) spectra of optically active polyoxazolines and their model compounds. [Erratum to document cited in CA117(22):213126s]

AUTHOR(S): Oh, Yeong Soo; Yamazaki, Toshimasa; Goodman, Murray
CORPORATE SOURCE: Dep. Chem., Univ. California, San Diego, CA, 92093-0343, USA

SOURCE: Macromolecules (1993), 26(7), 1798
CODEN: MAMOBX; ISSN: 0024-9297

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Errors in Scheme IV have been cor. The errors were not reflected in the abstr. or the index entries.

IT 143546-65-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as model for polyoxazolines (Erratum))

L8 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1993:102472 HCAPLUS

DOCUMENT NUMBER: 118:102472

TITLE: Preparation of hexa- and heptapeptide anaphylatoxin-receptor ligands

INVENTOR(S): Wiedeman, Paul E.; Kawai, Megumi; Luly, Jay R.; Or, Yat Sun; Wagner, Rolf

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

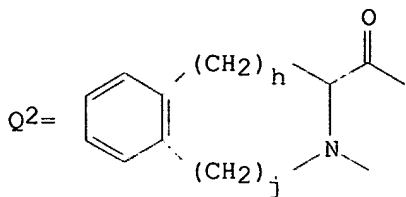
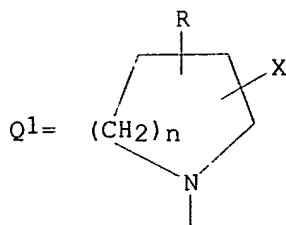
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 9211858 | A1 | 19920723 | WO 1991-US9319 | 19911210 |
| W: CA, JP | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| US 5386011 | A | 19950131 | US 1990-634641 | 19901227 |
| CA 2095359 | AA | 19920628 | CA 1991-2095359 | 19911210 |
| EP 564588 | A1 | 19931013 | EP 1992-903749 | 19911210 |
| EP 564588 | B1 | 19970212 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| AT 148891 | E | 19970215 | AT 1992-903749 | 19911210 |
| PRIORITY APPLN. INFO.: | | | US 1990-634641 | 19901227 |
| | | | WO 1991-US9319 | 19911210 |

OTHER SOURCE(S): MARPAT 118:102472

GI



AB A-B-D-E-G-J-L-M-Q [A = R1R2R3; B = R4R5R6, R35, R37; D = R7, R8, R9, R35; E = R10R11R12, R35; G = R13R14R15, R35; J = R16R17R18, R35; L = R19R20R21, R35; M = bond, R22R23R24, R35; Q = R25R26R27; R1 = aryl, alkyl, arylalkyl, H; R2 = O, (substituted) CH2; R1R2 = H, aryl; R1R2R3 = H, alkyl, aralkyl, alkenyl, protecting group; R3 = CO, CH2; R4 = (substituted) NH; R5, R8, R14, R17 = (substituted) CH2, C:CH2, imino, cyclopropylene; R6, R9, R12, R15, R18, R21, R24 = CO; R7, R10, R13, R16, R19, R22 = NH; R20, R23 = (substituted) CH2, C:CH2, cyclopropylene; R25 = O, (substituted) NH; R26 = H, alkyl, oralkyl, (substituted) NH; R27 = H, aryl; R26R27 = H, alkyl, aralkyl; R35 = Q1; n = 0-2; X = CO; R = H, alkyl; R37 = h = 1; j = 0, 1], were prepd. Thus, H-Phe-Lys-Lys-Q3-Q4-D-Arg-OH [Q3 = (2R)-2-amino-3-cyclohexylpropanoyl, Q4 = (2S)-2-amino-3-cyclohexylpropanoyl] (prepd. by solid phase methods) bound to anaphylatoxin receptors with $K_i = 0.011$.mu.m.

IT **144596-08-7P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as anaphylatoxin receptor ligand)

L8 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:613126 HCAPLUS

DOCUMENT NUMBER: 117:213126

TITLE: Syntheses and circular dichroism (CD) spectra of optically active polyoxazolines and their model compounds

AUTHOR(S): Oh, Yeong Soo; Yamazaki, Toshimasa; Goodman, Murray

CORPORATE SOURCE: Dep. Chem., Univ. California, San Diego, La Jolla, CA, 92093-0343, USA

SOURCE: Macromolecules (1992), 25(23), 6322-31

CODEN: MAMOBX; ISSN: 0024-9297

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Optically active poly(N-acyl-1-alkylethylenimines) are synthesized from the corresponding 2-oxazolines by ring-opening polymn. Model compds., from monomers through tetramers, are also prepd. Comparative CD studies of these polymers and model compds. indicate that polymers and tetrameric model compds. have the same conformations as established from mol. mechanics calcns.

IT **143546-65-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as model for polyoxazolines)

L8 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1992:129650 HCAPLUS

DOCUMENT NUMBER: 116:129650

TITLE: A process for the synthesis of L-tyrosyl-D-alanyl-glycyl-L-N-methyl-phenylalanyl-L-methionine-N-substituted amides and their corresponding sulfoxide derivatives as analgesics

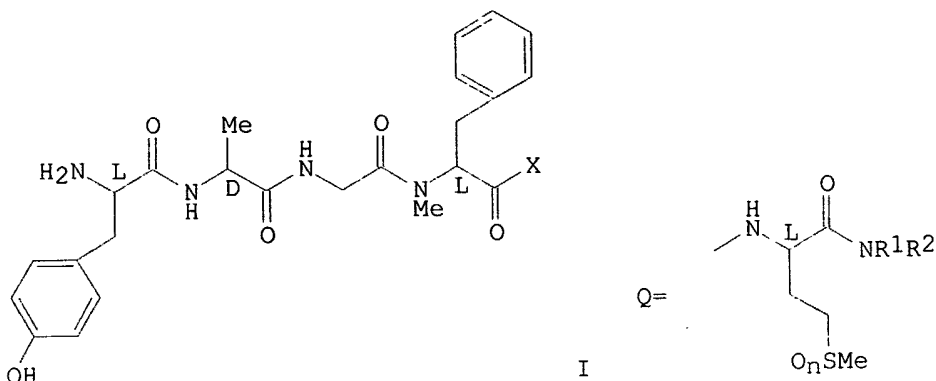
INVENTOR(S): Sharma, Shubh Dev; Mathur, Krishna Behari; Raghubir, Ram; Patnaik, Gyanendra Kumar; Srimal, Rikhab Chand; Dhawan, Bhola Nath

PATENT ASSIGNEE(S): Council of Scientific and Industrial Research (India), India

SOURCE: Indian, 22 pp.
 CODEN: INXXAP
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| IN 166472 | A | 19900519 | IN 1985-DE636 | 19850705 |

OTHER SOURCE(S): MARPAT 116:129650
 GI



AB Title compds. (I; X = Q; R1 = H; R2 = alkyl, aryl, aralkyl; NR1R2 = heterocyclyl; n = 0, 1), were prepd. by 1) coupling of Boc(Me)-Phe-OH with H-Met-OMe using N-methylmorpholine/Me2CHCH2O2CCl, 2) ester hydrolysis and amidation with N-methylmorpholine/Me2CHCH2O2CCl/Me2CHNH2 to give Boc(Me)-Phe-Met-NHCHMe2, 3) N-deprotection with HCO2H/anisole/ethanedithiol, 4) coupling of the deprotected peptideamide with the mixed anhydride from Boc-Tyr-D-Ala-Gly-OH and Me2CHCH2O2CCl in the presence of N-methylmorpholine, 5) deprotection of the peptideamide as above followed by treatment with ion exchange resin, and 6) optional S-oxidn. I i.v. in mice had 400-50,000 times the analgesic activity of metenkephalin or morphine.

IT 83471-75-4P 83471-76-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of, as analgesic)

IT 139222-78-9P 139222-79-0P 139222-80-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as analgesic intermediate)

IT 139222-81-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for analgesic)

L8 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1983:65315 HCAPLUS

DOCUMENT NUMBER: 98:65315

TITLE: Centrally mediated effects of met-enkephalin and morphine on the body temperature of Mastomys natalensis

AUTHOR(S): Shukla, R.; Srimal, R. C.; Dhawan, B. N.

CORPORATE SOURCE: Cent. Drug Res. Inst., Lucknow, 226001, India

SOURCE: Adv. Biosci. (1982), 38(Curr. Status Cent. Acting Pept.), 85-91

CODEN: AVBIB9; ISSN: 0065-3446

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of met-enkephalin [58569-55-4], morphine [57-27-2], and compd. 80/535 ([D-Ala2 (Me) Phe4]-Met-(o)-enkephalin isopropylamide [83471-76-5] were studied on rectal temp. of *M. natalensis* at the ambient temps. of 10, 24, and 33.degree.. Met-enkephalin (25-100 .mu.g intracerebroventricularly, icv) and morphine (0.1-20 .mu. icv) produced dose-dependent hyperthermia while compd. 80/535 produced hyperthermia at low doses (0.01-0.1 .mu.g icv or 5 .mu.g i.p.) and a biphasic effect at higher doses (1 .mu.g icv or 20-50 .mu.g i.p.). The hyperthermic effect of met-enkephalin was max. at 10.degree. and decreased with increase of ambient temp. The morphine effect was independent of ambient temp. The hyperthermic effect of morphine, compd. 80/535 (icv or i.p.) and met-enkephalin was antagonized by naloxone (10 .mu.g icv). The effect of met-enkephalin at 33.degree. was antagonized by a higher dose (20 .mu.g) of naloxone. The hypothermic effect of compd. 80/535 remained unaffected after naloxone. Met-enkephalin produced significantly less hyperthermia in morphine-tolerant animals. Thus, .mu.-type opioid receptors appear involved in thermoregulation in *Mastomys* and there is a cross-tolerance between morphine and naturally occurring ligands of opiate receptors.

IT 83471-76-5

RL: BIOL (Biological study)

(body temp. response to, in *Mastomys natalensis*, opiate receptors in relation to)

L8 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1982:575482 HCAPLUS

DOCUMENT NUMBER: 97:175482

TITLE: Cardiovascular responses to enkephalins from the ventral surface of medulla in cat

AUTHOR(S): Srimal, R. C.; Raghubir, R.; Dhawan, B. N.

CORPORATE SOURCE: Div. Pharmacol., Cent. Drug Res. Inst., Lucknow, 226001, India

SOURCE: Adv. Biosci. (1982), 38(Curr. Status Cent. Acting Pept.), 77-83

CODEN: AVBIB9; ISSN: 0065-3446

DOCUMENT TYPE: Journal

LANGUAGE: English

AB methionine-enkephalin (I) [58569-55-4] (2% soln.), [D-Ala2, (Me)Phe4, Met(O)5]-enkephalin isopropylamide (II) [83471-76-5] (0.1% soln.), and leucine-enkephalin [58822-25-6] (2% soln.), applied to the ventral surface of the medulla in cats, decreased the blood pressure by 17.3, 18.7, and 3.5%, resp.; the hypotensive effects continued as long as the drug pledgets remained in place. None of the compds. affected the heart rate. I potentiated the hypotensive effect of acetylcholine (Ach) [51-84-3] and decreased the hypertensive response to elec. stimulation of the medulla. Naloxone decreased, but did not completely block, the effects of I. II had no effect on Ach-induced hypotension at the 0.1% concn. These results, coupled with results of morphine and naloxone interactions indicate that the cardiovascular loci on the ventral surface of the medulla contain predominantly .delta.-receptors. I may act as a neuromodulator in this area by potentiating the response to Ach.

IT 83471-76-5

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(cardiovascular system response to, after brain administration)

L8 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1982:575263 HCAPLUS

DOCUMENT NUMBER: 97:175263

TITLE: Pharmacological profile of some [D-Ala2, MePhe4, Met5]-enkephalin-alkylamides, new potent analogs of met-enkephalin

AUTHOR(S): Raghubir, R.; Sharma, S. D.; Mathur, K. B.; Patnaik, G. K.; Srimal, R. C.; Dhawan, B. N.

CORPORATE SOURCE: Cent. Drug Res. Inst., Lucknow, 226001, India

SOURCE: Adv. Biosci. (1982), 38(Curr. Status Cent. Acting

Pept.), 61-9
CODEN: AVBIB9; ISSN: 0065-3446
Journal
English

DOCUMENT TYPE:
LANGUAGE:

AB Some [D-Ala2,MePhe4,Met5]-enkephalin alkylamides and sulfoxides were synthesized and tested for morphinomimetic activity. All of the compds. showed a greater analgesic activity in mice than did methionine-enkephalin (I) [58569-55-4] or morphine (II) [57-27-2] and a greater inhibition of elec. induced contraction of isolated guinea pig ileum than did I or II. [D-Ala2,MePhe4,Met5]-enkephalin isopropylamide (III) [83471-75-4], the most potent compd., was 52963- and 407-fold more potent than I and II, resp. as an analgesic; after intracerebroventricular (i.c.v.) administration. [D-Ala2,MePhe4,Met(O)5]-enkephalin isopropylamide (IV) [83471-76-5], active by both oral and i.p. routes, was half as effective as III in vivo, and blocked elec. induced contractions of both the isolated guinea pig ileum and mouse vas deferens to the same extent as III in vitro. IV and I dose-dependently decreased blood pressure and respiration after i.c.v. administration in anesthetized cats. Naloxone antagonized the pharmacol. effects of IV.

IT 83471-75-4 83471-76-5
RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)
(morphinomimetic activity of, structure in relation to)

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STRUCTURE FILE UPDATES: 25 JAN 2001 HIGHEST RN 317318-03-9
 DICTIONARY FILE UPDATES: 25 JAN 2001 HIGHEST RN 317318-03-9

TSCA INFORMATION NOW CURRENT THROUGH July 8, 2000

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT
 for details.

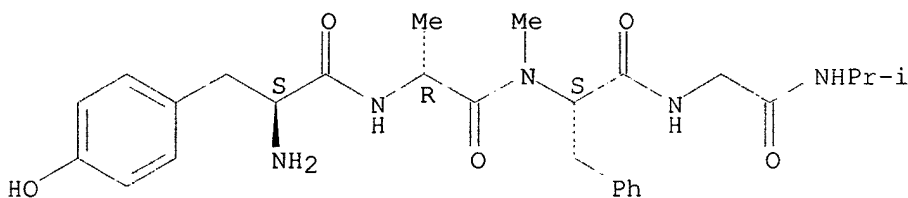
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=> d ide can 17 1-11

L7 ANSWER 1 OF 11 REGISTRY COPYRIGHT 2001 ACS
 RN 214832-69-6 REGISTRY
 CN Glycinamide, L-tyrosyl-D-alanyl-N-methyl-L-phenylalanyl-N-(1-methylethyl)-
 , monohydrochloride (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C27 H37 N5 O5 . Cl H
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (-).

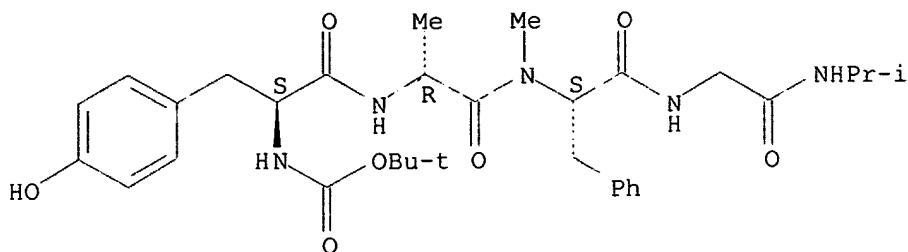


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:316540

L7 ANSWER 2 OF 11 REGISTRY COPYRIGHT 2001 ACS
 RN 214832-62-9 REGISTRY
 CN Glycinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-D-alanyl-N-methyl-
 L-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C32 H45 N5 O7
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (-).



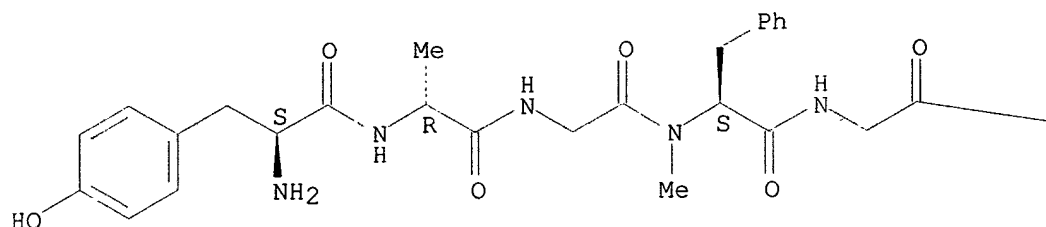
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 129:316540

L7 ANSWER 3 OF 11 REGISTRY COPYRIGHT 2001 ACS
RN 156125-05-2 REGISTRY
CN Glycinamide, L-tyrosyl-D-alanylglycyl-N-methyl-L-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C29 H40 N6 O6
SR CA
LC STN Files: CA, CANCERLIT, CAPLUS, MEDLINE, TOXLIT

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

NHPr-i

4 REFERENCES IN FILE CA (1967 TO DATE)
4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:307316

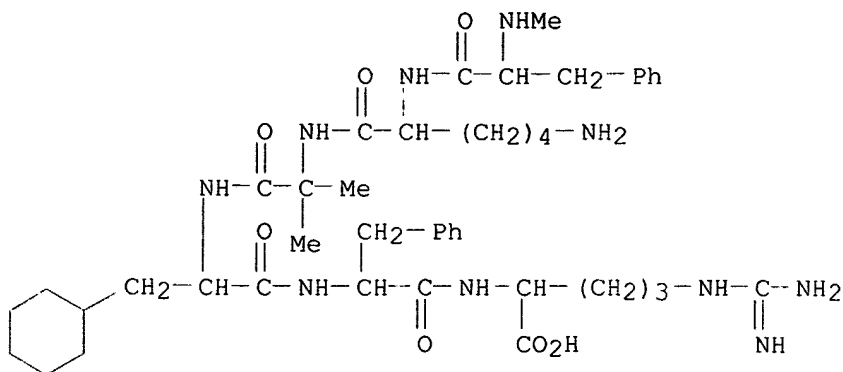
REFERENCE 2: 126:166629

REFERENCE 3: 122:306860

REFERENCE 4: 121:55624

L7 ANSWER 4 OF 11 REGISTRY COPYRIGHT 2001 ACS
RN 144596-08-7 REGISTRY
CN D-Arginine, N2-[N-[3-cyclohexyl-N-[2-methyl-N-[N2-(N-methyl-L-phenylalanyl)-L-lysyl]alanyl]-D-alanyl]-L-phenylalanyl]- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE
MF C44 H68 N10 O7

SR CA
LC STN Files: CA, CAPLUS, USPATFULL

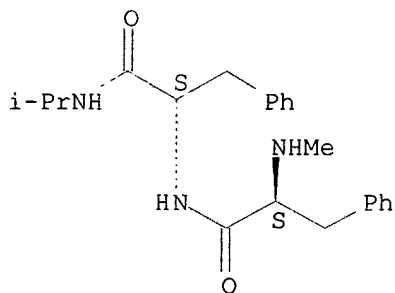


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 118:102472

L7 ANSWER 5 OF 11 REGISTRY COPYRIGHT 2001 ACS
RN 143546-65-0 REGISTRY
CN L-Phenylalaninamide, N-methyl-L-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H29 N3 O2
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

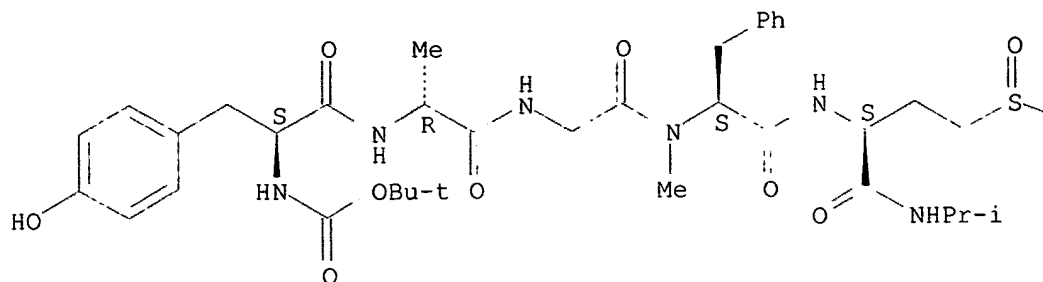
REFERENCE 1: 118:234602

REFERENCE 2: 117:213126

L7 ANSWER 6 OF 11 REGISTRY COPYRIGHT 2001 ACS
RN 139222-81-4 REGISTRY
CN Butanamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-D-alanylglycyl-L-phenylalanyl-N-(1-methylethyl)-4-(methylsulfinyl)-L-2-amino- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C37 H54 N6 O9 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:129650

L7 ANSWER 7 OF 11 REGISTRY COPYRIGHT 2001 ACS

RN 139222-80-3 REGISTRY

CN L-Methioninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-D-alanylglycyl-N-methyl-L-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

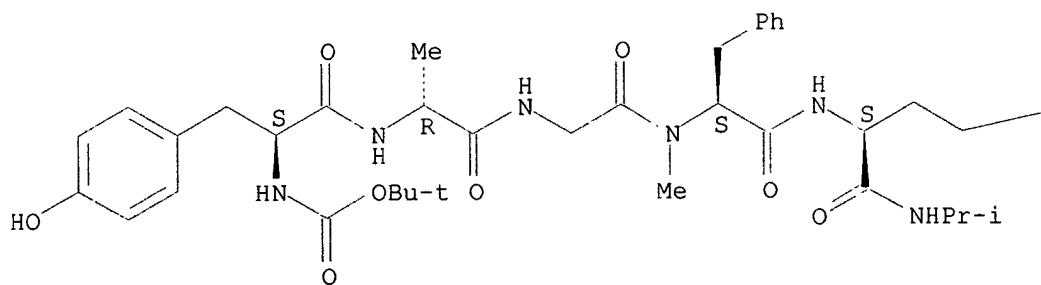
MF C37 H54 N6 O8 S

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

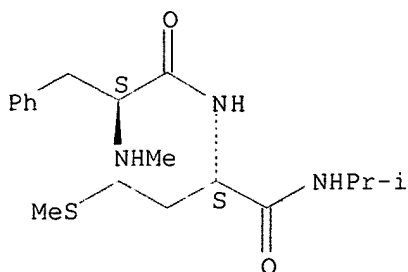
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1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:129650

L7 ANSWER 8 OF 11 REGISTRY COPYRIGHT 2001 ACS
 RN 139222-79-0 REGISTRY
 CN L-Methioninamide, N-methyl-L-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C18 H29 N3 O2 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

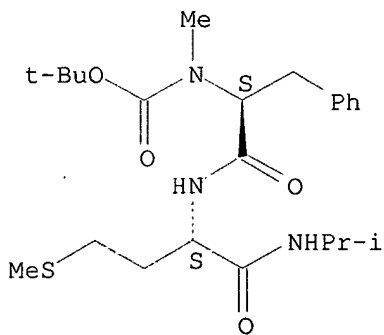


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:129650

L7 ANSWER 9 OF 11 REGISTRY COPYRIGHT 2001 ACS
 RN 139222-78-9 REGISTRY
 CN L-Methioninamide, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-L-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C23 H37 N3 O4 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

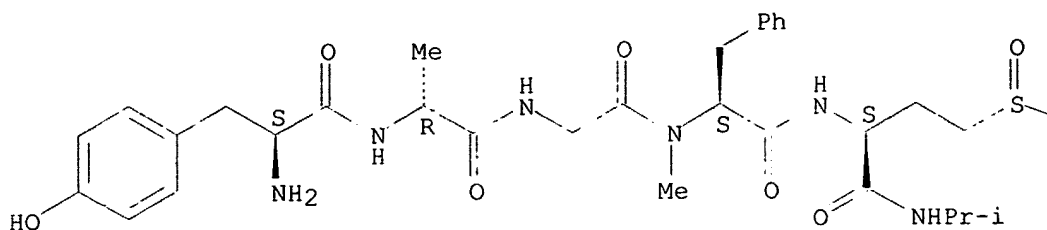
REFERENCE 1: 116:129650

L7 ANSWER 10 OF 11 REGISTRY COPYRIGHT 2001 ACS
 RN 83471-76-5 REGISTRY
 CN Butanamide, L-tyrosyl-D-alanylglycyl-N-methyl-L-phenylalanyl-N-(1-methylethyl)-4-(methylsulfinyl)-L-2-amino- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Butanamide, L-tyrosyl-D-alanylglycyl-N-methyl-L-phenylalanyl-N-(1-methylethyl)-.gamma.-(methylsulfinyl)-L-.alpha.-amino-

FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C32 H46 N6 O7 S
 LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

4 REFERENCES IN FILE CA (1967 TO DATE)
 4 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:129650

REFERENCE 2: 98:65315

REFERENCE 3: 97:175482

REFERENCE 4: 97:175263

L7 ANSWER 11 OF 11 REGISTRY COPYRIGHT 2001 ACS

RN 83471-75-4 REGISTRY

CN L-Methioninamide, L-tyrosyl-D-alanylglycyl-N-methyl-L-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

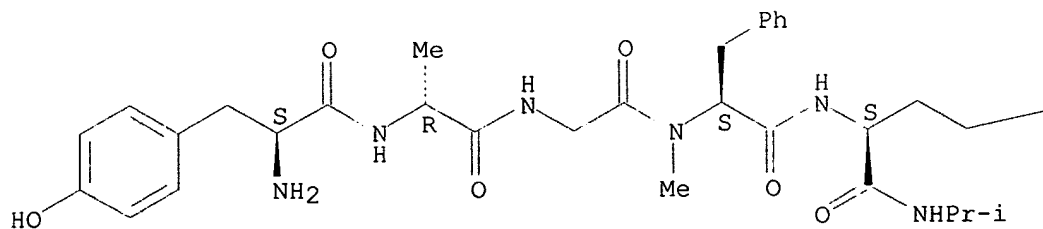
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C32 H46 N6 O6 S

LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

SMe

5 REFERENCES IN FILE CA (1967 TO DATE)
 5 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:307316
 REFERENCE 2: 126:166629
 REFERENCE 3: 122:306860
 REFERENCE 4: 116:129650
 REFERENCE 5: 97:175263

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FILE LAST UPDATED: 25 Jan 2001 (20010125/ED)

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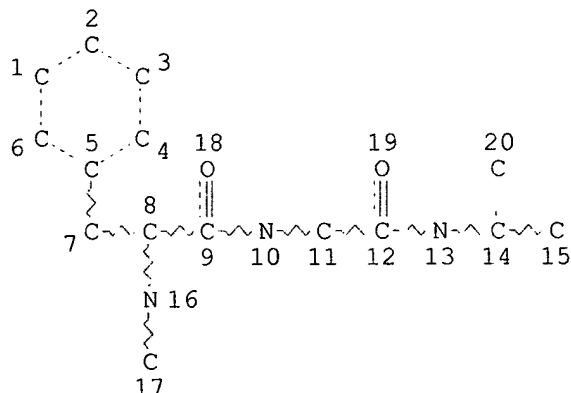
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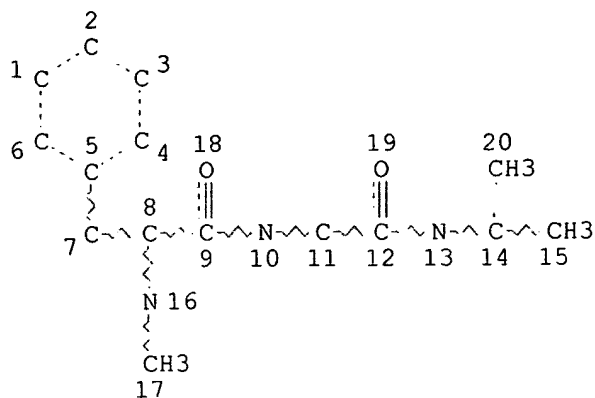
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DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 20

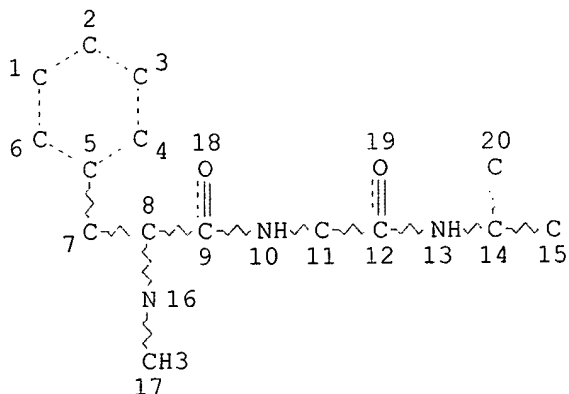
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STEREO ATTRIBUTES: NONE
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 L8 12 SEA FILE=HCAPLUS ABB=ON PLU=ON L7
 L10 STR



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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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STEREO ATTRIBUTES: NONE
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 L12 642 SEA FILE=HCAPLUS ABB=ON PLU=ON L11
 L13 253 SEA FILE=REGISTRY ABB=ON PLU=ON ENKEPH?
 L14 20370 SEA FILE=REGISTRY ABB=ON PLU=ON CYCLODEX?
 L15 19515 SEA FILE=HCAPLUS ABB=ON PLU=ON L13 OR ?ENKEPH?
 L16 19831 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 OR ?CYCLODEX?
 L18 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L12(L) (L15 OR L16 OR ORAL)
 L19 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L18 NOT L8

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L19 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:227523 HCAPLUS

DOCUMENT NUMBER: 132:284220

TITLE: Pharmaceutical compositions based on
.alpha.-cyclodextrin for the oral administration of
LH-RH analogues

INVENTOR(S): Delansorne, Remi; Bonnet, Paule; Paris, Jacques

PATENT ASSIGNEE(S): Laboratoire Theramex, Monaco

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2000018423 | A1 | 20000406 | WO 1999-EP7389 | 19990923 |
| W: | | | | |
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| RW: | | | | |
| GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 998940 | A1 | 20000510 | EP 1998-402403 | 19980930 |
| R: | | | | |
| AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| AU 9961999 | A1 | 20000417 | AU 1999-61999 | 19990923 |
| PRIORITY APPLN. INFO.: | | | EP 1998-402403 | 19980930 |
| | | | WO 1999-EP7389 | 19990923 |

OTHER SOURCE(S): MARPAT 132:284220

AB The invention relates to the use of .alpha.-cyclodextrin (.alpha.-CD) or a deriv. for the prepn. of pharmaceutical compns. for the oral administration of LH-RH peptide analogs. One thawed individual vial contg. 50 .mu.g of a LH-RH analog in 50 .mu.L phosphate-buffered saline contg. 0.1% bovine serum albumin, were dild. to give a 1.25 .mu.g/mL soln. from which 3 fractions of 3.8 mL were taken, and 190, 380 or 532 mg of .alpha.-CD were added to each fraction to give a concn. of 5, 10, or 14%, resp. After overnight magnetic stirring at room temp., each soln. was given to rats by oral gavage in a 4 mL/kg vol. to administer the same dose of 5 .mu.g/kg of the compd. without or with increasing concns. of .alpha.-CD.

IT 183552-38-7, Abarelix 263137-50-4

RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. based on .alpha.-cyclodextrin for
oral administration of LH-RH analogs)

REFERENCE COUNT: 5

REFERENCE(S):

- (1) Breda, B; WO 9507076 A 1995 HCAPLUS
- (2) Novo Industri As; EP 0308181 A 1989 HCAPLUS
- (3) Shin-Ichiro, H; US 4659696 A 1987 HCAPLUS
- (4) Takeda Chemical Industries Ltd; EP 0839525 A 1998 HCAPLUS
- (5) Theramex; EP 0842946 A 1998 HCAPLUS

=> d ibib abs hitrn 119 2-4

L19 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:161313 HCAPLUS
 DOCUMENT NUMBER: 132:194667
 TITLE: Preparation of peptide compounds as analgesics
 INVENTOR(S): Sakurada, Shinobu; Hagiwara, Masaki; Miyamae, Tetsuhisa; Okayama, Toru; Ogawa, Tadashi; Oya, Tomomi; Araki, Mamoru; Yagisawa, Masako
 PATENT ASSIGNEE(S): Fuji Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2000012539 | A1 | 20000309 | WO 1999-JP4721 | 19990831 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9954465 | A1 | 20000321 | AU 1999-54465 | 19990831 |
| PRIORITY APPLN. INFO.: | | | JP 1998-246006 | 19980831 |
| | | | WO 1999-JP4721 | 19990831 |
| OTHER SOURCE(S): MARPAT 132:194667 | | | | |
| AB Compds. represented by the following general formula; or salts thereof: R1-AA1-AA2-AA3-AA4-OR2 (wherein R1 is C1-5 alkyl, amino or the like; R2 is hydrogen, C1-16 alkyl, C1-16 haloalkyl, C1-16 hydroxyalkyl, C1-10 alkoxy-C1-10 alkyl, C1-6 alkoxy-C1-6 alkoxy-C1-6 alkyl, C1-16 aminoalkyl or the like; AA1 is a tyrosine residue, O-acyl-L-tyrosine residue, O-alkoxycarbonyl-L-tyrosine residue or the like; AA2 is a D-methionine sulfoxide residue, D-arginine residue, D-lysine residue, D-ornithine residue or other D-.alpha.-amino acid residue; AA3 is a substituted or unsubstituted L-phenylalanine residue or the like; and AA4 is an N-methyl-.beta.-alanine residue). These compds. and salts exhibit both an excellent analgesic effect and excellent oral and mucosal (mucous membrane) absorbabilities, thus being useful as drugs for the treatment of pains. Thus, H-MeTyr-D-Arg-Phe-Me.beta.Ala-O(CH2)7Me.AcOH, which was prepd. by the soln. method, at 10 mg/kg in nasal administration inhibited pain by 91.2% in rat in hot plate assay vs. 22.5% for morphine. | | | | |
| IT 260268-57-3P 260268-59-5P 260268-61-9P 260268-63-1P 260268-65-3P 260268-67-5P 260268-68-6P 260268-70-0P 260268-72-2P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of peptide compds. as analgesics with oral or mucous-membrane absorbability) | | | | |
| IT 260268-75-5P 260268-76-6P 260268-77-7P 260268-79-9P 260268-80-2P 260268-82-4P 260268-83-5P 260268-85-7P 260268-86-8P 260268-91-5P 260268-92-6P 260268-93-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of peptide compds. as analgesics with oral or mucous-membrane absorbability) | | | | |
| REFERENCE COUNT: 3 | | | | |
| REFERENCE(S): | | | | |
| (1) Anon; EP 7559421 A | | | | |
| (2) Anon; WO 95244211 1995 | | | | |
| (3) Terashima, T; Annual Report of Tohoku College of | | | | |

Pharmacy 1996, V43, P109 HCAPLUS

L19 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1999:565889 HCAPLUS
 DOCUMENT NUMBER: 131:189721
 TITLE: Oral formulations for hydrophilic drugs solubilized in lipophilic carriers
 INVENTOR(S): Fu, Lu Mou Ying; Bauer, John F.; Dziki, Walter; Taylor, Victor E.; Wang, Zheng
 PATENT ASSIGNEE(S): Abbott Laboratories, USA
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------|
| WO 9943299 | A2 | 19990902 | WO 1999-US3675 | 19990219 |
| WO 9943299 | A3 | 19991104 | | |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 9928708 | A1 | 19990915 | AU 1999-28708 | 19990219 |
| PRIORITY APPLN. INFO.: | | | US 1998-31204 | 19980226 |
| | | | WO 1999-US3675 | 19990219 |

AB The present invention relates to a pharmaceutical compn. and conc. suitable for oral administration comprising a hydrophilic drug solubilized in a lipophilic phase comprising a fatty acid and water; an oral formulation comprising uniform dispersion of the pharmaceutical conc. in an aq. phase optionally comprising a self-emulsifying material; and to a process of making the same. The invention relates to the solubilization of hydrophilic drugs, such as leuprolide acetate in fatty acids, such as oleic acid, thereby protecting the drug from enzymic degrdn. in the GI tract and increasing the bioavailability, thus making oral administration of the hydrophilic drug desirable. A preferred oral formulation conc. comprises leuprolide acetate 50-100 mg, water 0.2, ethanol 1, oleic acid 4, Prosweet 0.1, BHT 0.01, menthol 0.2 mL, methylparaben 10 mg, and Tween-80 q.s. to 10 mL.

IT 157147-51-8
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (oral formulations for hydrophilic peptide drugs solubilized in lipophilic carriers)

L19 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2001 ACS
 ACCESSION NUMBER: 1988:486916 HCAPLUS
 DOCUMENT NUMBER: 109:86916
 TITLE: Comparison of the effects of epithelium removal and of an enkephalinase inhibitor on the neurokinin-induced contractions of guinea pig isolated trachea
 AUTHOR(S): Devillier, Philippe; Advenier, Charles; Drapeau, Guy; Marsac, Jean; Regoli, Domenico
 CORPORATE SOURCE: Serv. Pneumol., Hop. Cochin, Paris, 75014, Fr.
 SOURCE: Br. J. Pharmacol. (1988), 94(3), 675-84
 CODEN: BJPCBM; ISSN: 0007-1188
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The influence of epithelium removal and(or) thiorphan on the effects of neurokinins [substance P (SP), neurokinin A (NKA), neurokinin B (NKB)] and related peptides on airway contractility was investigated on isolated

guinea pig trachea. Removing the tracheal epithelium enhanced the sensitivity, but not the max. contractile responses, to the peptides. After removal of the epithelial layer, the shifts to the left of the log concn. response curves were greater for SP and SP-OMe (1.62 and 1.94 log units, resp.) than for 2 SP analogs substituted in position 9 namely [Pro9]SP sulfone and [.beta.-Ala4,Sar9]SP(4-11) sulfone (0.66 and 0.68 log units, resp.). The leftward shifts for compds. related to NKA or NKB lay between 0.58 and 0.73 log units. The leftward shifts of the log concn.-response curves for SP, SP-OMe, [Pro9]SP sulfone, [.beta.-Ala4,Sar9]SP(4-11) sulfone, and NKA were of similar magnitude after removal of the epithelium or after pretreatment with thiorphan (10⁻⁵ M), an enkephalinase inhibitor, in the presence of epithelium. No addnl. shift of the curves to the left was obsd. with thiorphan plus epithelium removal. The results obtained with the selective agonists for each of the 3 classes of neurokinin receptor (i.e., NK1, NK2, NK3) suggest that the guinea pig trachea contains receptors for SP and NKA but few if any for NKB. Evidently, neurokinins and related peptides (esp. SP and analogs not substituted in position 9) are degraded by enkephalinase mainly located in the tracheal epithelium, and the addn. of thiorphan or epithelium removal results in an inhibition or loss of enkephalinase activity, thereby increasing similarly the potencies of these peptides. It was, therefore, suggested that the supersensitivity to neurokinins produced by epithelium removal was due neither to the elimination of a permeability barrier nor to reduced prodn. of a relaxant factor, but mainly to reduced peptide degrdn.

IT 109210-59-5

RL: BIOL (Biological study)

(trachea contraction by, **enkephalinase** inhibitor and epithelium removal effect on)

=> select hit rn 1-4

ENTER ANSWER SET OR SMARTSELECT L# OR (L19):.

E1 THROUGH E25 ASSIGNED

=> fil reg

FILE 'REGISTRY' ENTERED AT 14:00:54 ON 26 JAN 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES: 25 JAN 2001 HIGHEST RN 317318-03-9
DICTIONARY FILE UPDATES: 25 JAN 2001 HIGHEST RN 317318-03-9

TSCA INFORMATION NOW CURRENT THROUGH July 8, 2000

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SELECT HIT RN 1-4

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L20 25 S E1-E25

=> d ide can 120 1-25

L20 ANSWER 1 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 263137-50-4 REGISTRY

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-D-asparaginy-4-methyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI)
(CA INDEX NAME)

OTHER NAMES:

CN 6: PN: WO0018423 PAGE: 26 claimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

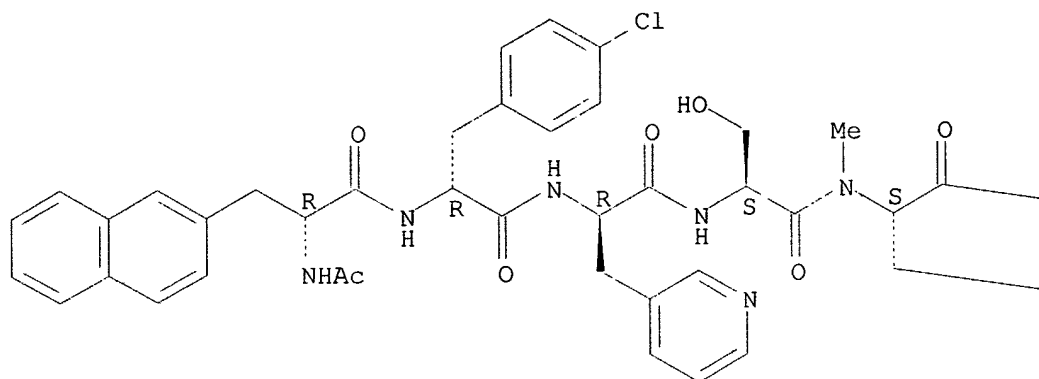
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SR CA

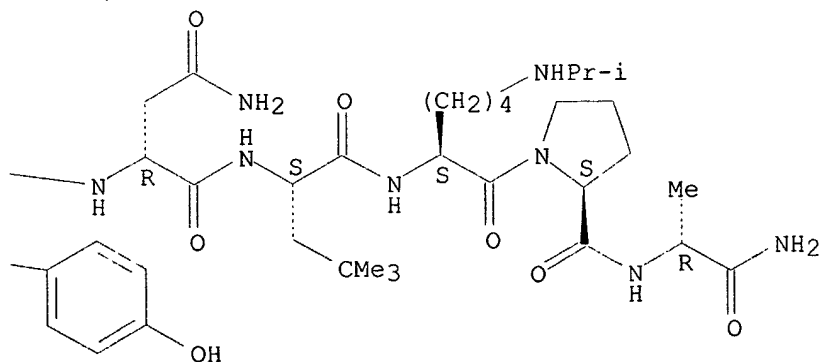
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:284220

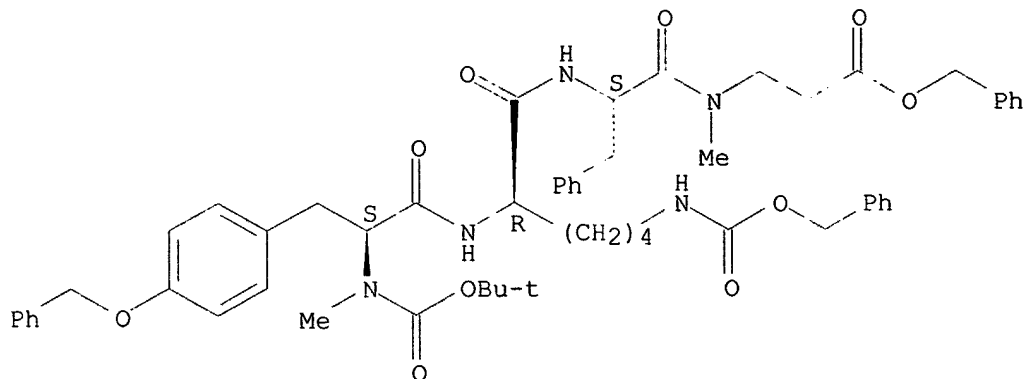
L20 ANSWER 2 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 260268-93-7 REGISTRY

CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-O-(phenylmethyl)-L-tyrosyl-N6-[(phenylmethoxy)carbonyl]-D-lysyl-L-phenylalanyl-N-methyl-,

phenylmethyl ester (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C56 H67 N5 O10
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

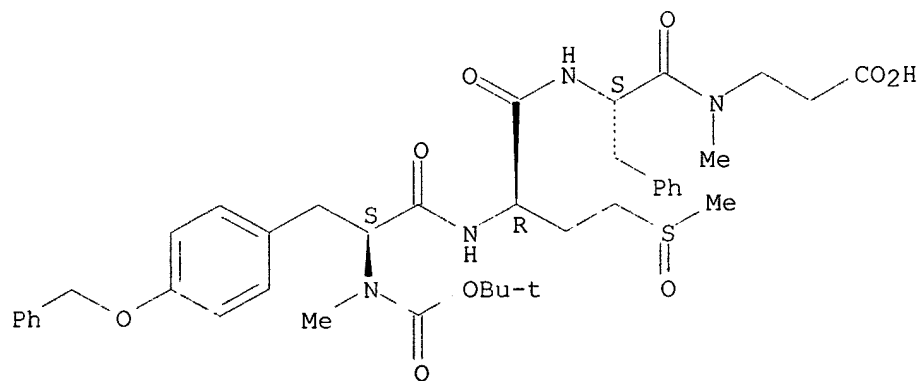


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 3 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-92-6 REGISTRY
 CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-O-(phenylmethyl)-
 L-tyrosyl-(2R)-2-amino-4-(methylsulfinyl)butanoyl-L-phenylalanyl-N-methyl-
 (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
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 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



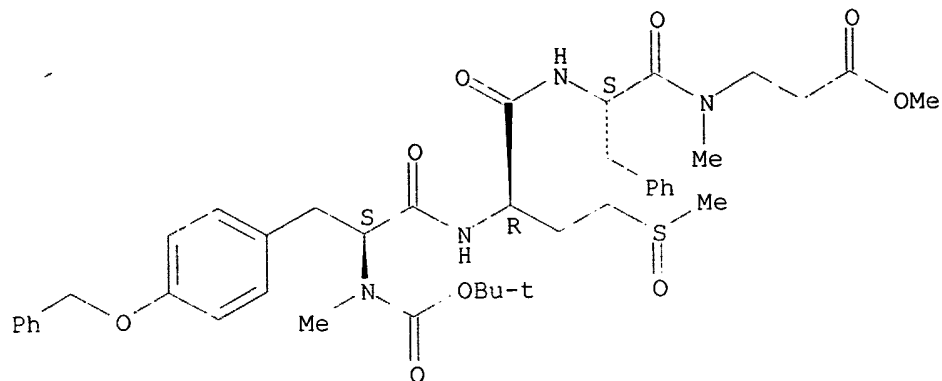
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 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 4 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-91-5 REGISTRY
 CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-O-(phenylmethyl)-
 L-tyrosyl-(2R)-2-amino-4-(methylsulfinyl)butanoyl-L-phenylalanyl-N-methyl-

, methyl ester (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C41 H54 N4 O9 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



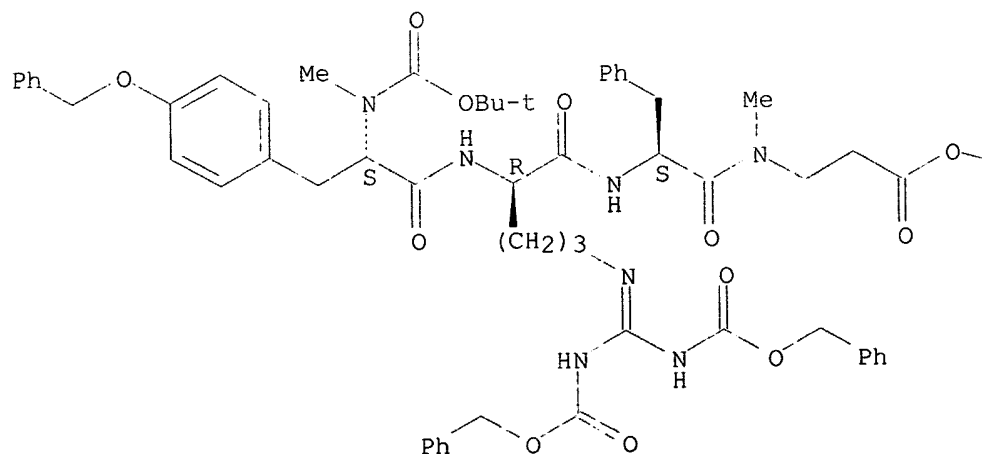
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 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 5 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-86-8 REGISTRY
 CN .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-O-(phenylmethyl)-
 L-tyrosyl-N5-[bis[(phenylmethoxy)carbonyl]amino]methylene]-D-ornithyl-L-
 phenylalanyl-N-methyl-, tricyclo[3.3.1.1^{3,7}]dec-1-yl ester (9CI) (CA
 INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C67 H81 N7 O12
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 6 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 260268-85-7 REGISTRY

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-O-(phenylmethyl)-L-tyrosyl-N5-[bis[(phenylmethoxy)carbonyl]amino]methylene]-D-ornithyl- (9CI) (CA INDEX NAME)

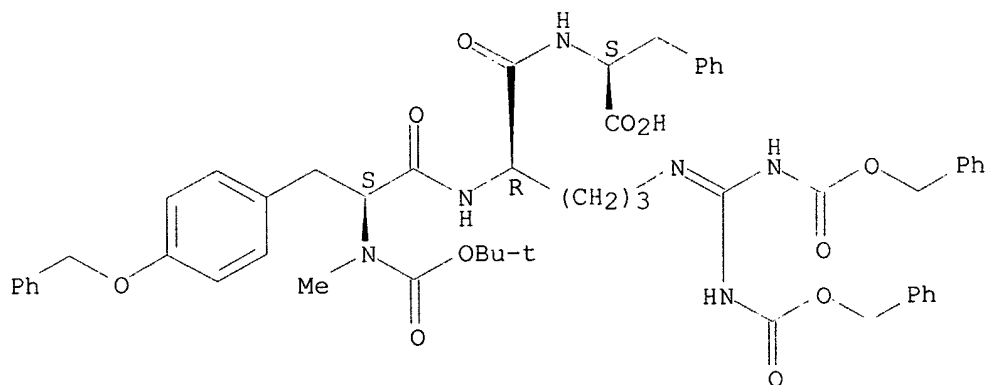
FS STEREOSEARCH

MF C53 H60 N6 O11

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 7 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 260268-83-5 REGISTRY

CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-O-(phenylmethyl)-L-tyrosyl-N5-[bis[(phenylmethoxy)carbonyl]amino]methylene]-D-ornithyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

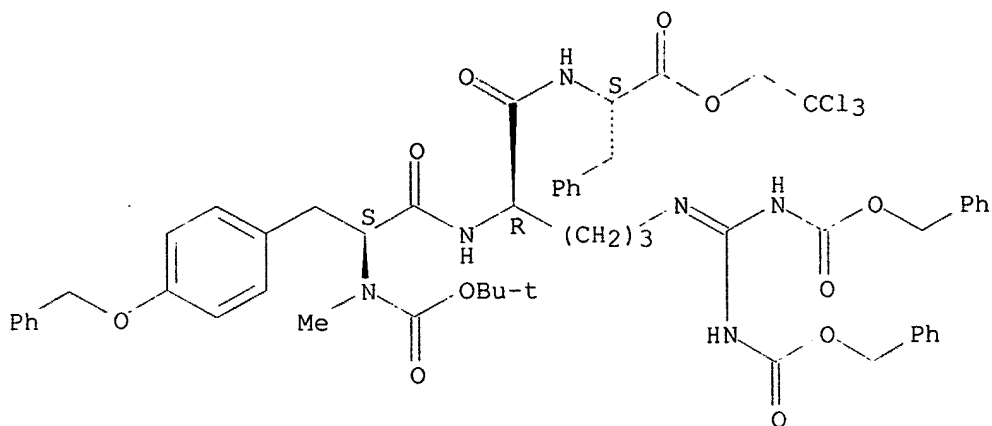
FS STEREOSEARCH

MF C55 H61 Cl3 N6 O11

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 8 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 260268-82-4 REGISTRY

CN .beta.-Alanine, N-methyl-N-[(phenylmethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl-3-[(1-iminoethyl)amino]-D-alanyl-L-phenylalanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

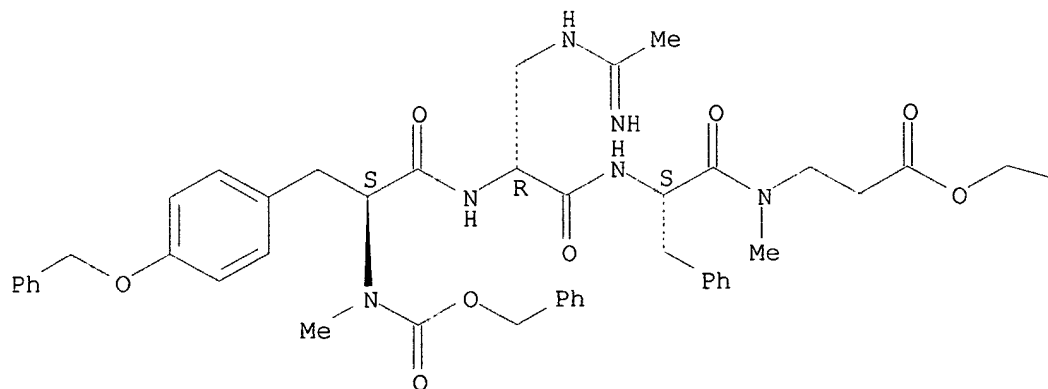
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SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Ph

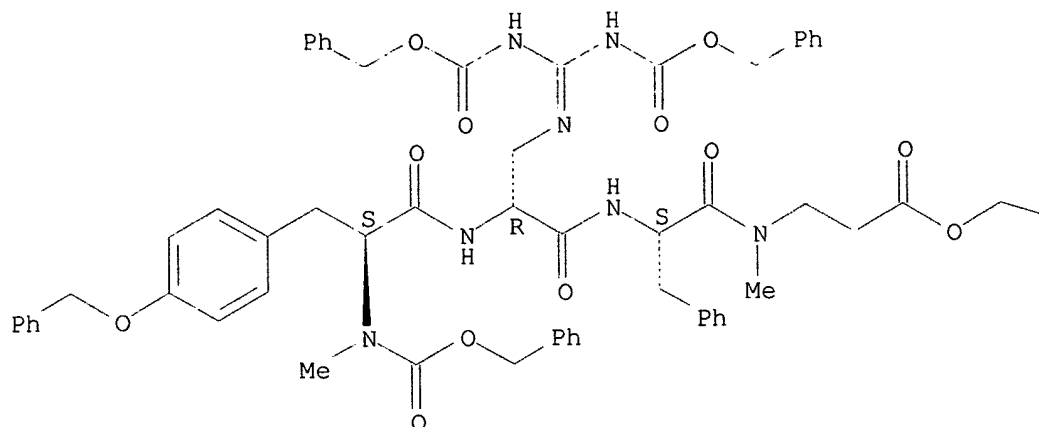
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REFERENCE 1: 132:194667

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 RN 260268-80-2 REGISTRY
 CN .beta.-Alanine, N-methyl-N-[(phenylmethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl-3-[[bis[[(phenylmethoxy)carbonyl]amino]methylene]amino]-D-alanyl-L-phenylalanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C65 H67 N7 O12
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

— Ph

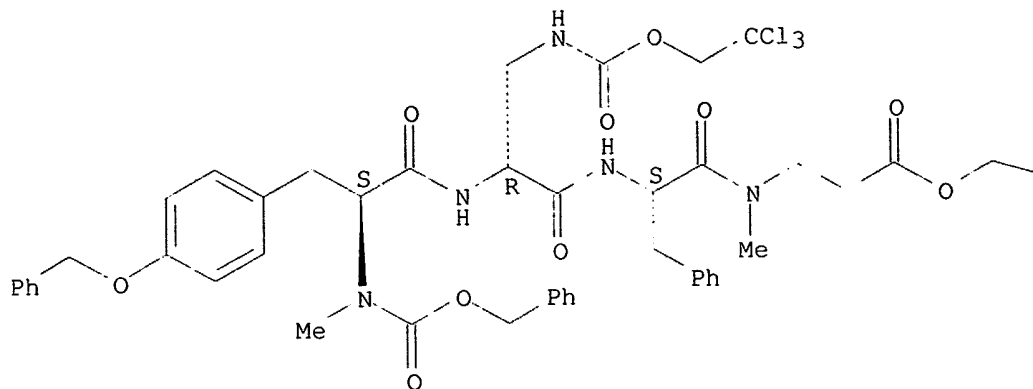
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 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 10 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-79-9 REGISTRY
 CN .beta.-Alanine, N-methyl-N-[(phenylmethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl-3-[[(2,2,2-trichloroethoxy)carbonyl]amino]-D-alanyl-L-phenylalanyl-N-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C51 H54 Cl3 N5 O10
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Ph

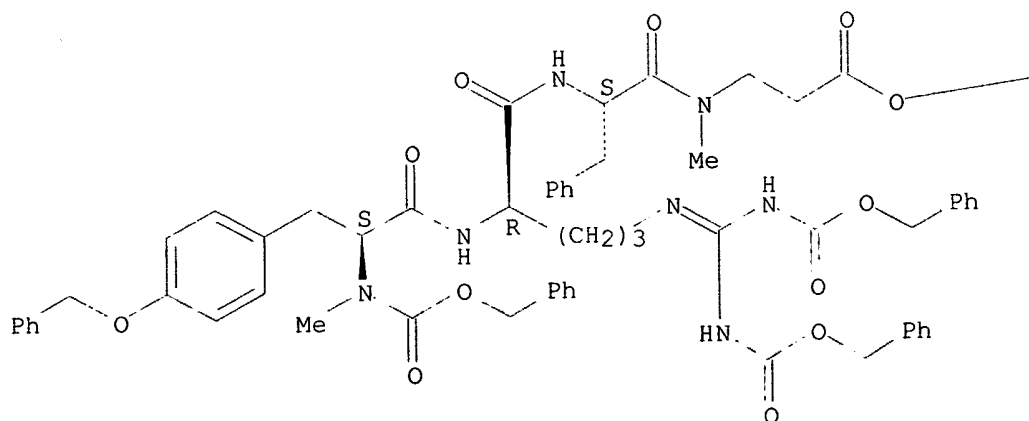
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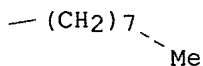
L20 ANSWER 11 OF 25 REGISTRY COPYRIGHT 2001 ACS
RN **260268-77-7** REGISTRY
CN .beta.-Alanine, N-methyl-N-[(phenylmethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl-N5-[bis[[phenylmethoxy)carbonyl]amino]methylene]-D-ornithyl-L-phenylalanyl-N-methyl-, octyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C68 H81 N7 O12
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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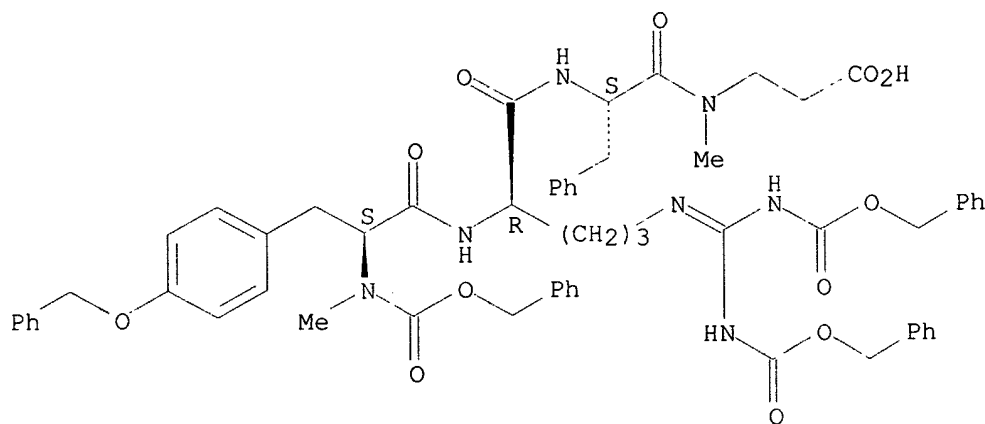


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1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 12 OF 25 REGISTRY COPYRIGHT 2001 ACS
RN **260268-76-6** REGISTRY
CN .beta.-Alanine, N-methyl-N-[(phenylmethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl-N5-[bis[[(phenylmethoxy)carbonyl]amino]methylene]-D-ornithyl-L-phenylalanyl-N-methyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C60 H65 N7 O12
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



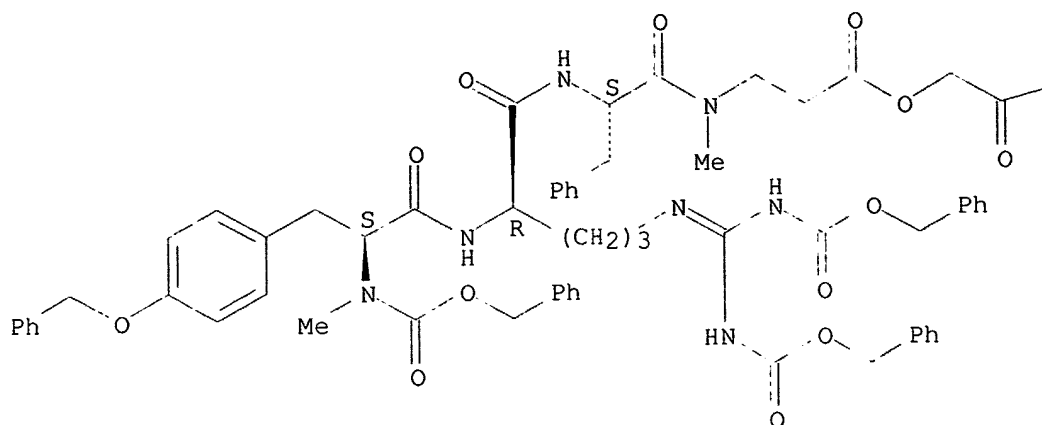
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REFERENCE 1: 132:194667

L20 ANSWER 13 OF 25 REGISTRY COPYRIGHT 2001 ACS
RN **260268-75-5** REGISTRY
CN .beta.-Alanine, N-methyl-N-[(phenylmethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl-N5-[bis[[(phenylmethoxy)carbonyl]amino]methylene]-D-ornithyl-L-phenylalanyl-N-methyl-, 2-oxo-2-phenylethyl ester (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C68 H71 N7 O13
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

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—Ph

1 REFERENCES IN FILE CA (1967 TO DATE)
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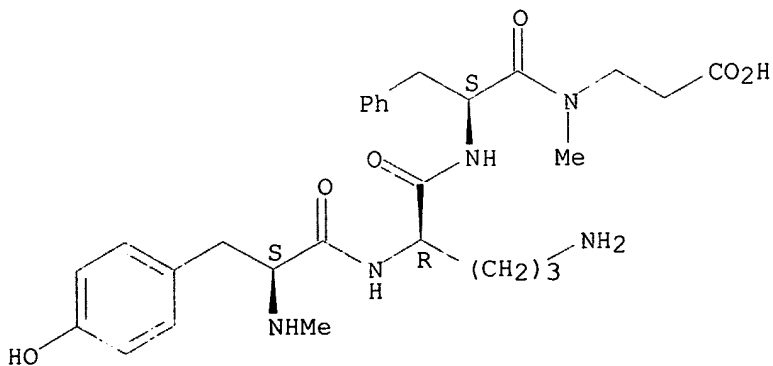
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L20 ANSWER 14 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-72-2 REGISTRY
 CN .beta.-Alanine, N-methyl-L-tyrosyl-D-ornithyl-L-phenylalanyl-N-methyl-,
 monoacetate (salt) (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C28 H39 N5 O6 . C2 H4 O2
 SR CA
 LC STN Files: CA, CAPLUS

CM 1

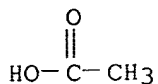
CRN 260268-71-1
 CMF C28 H39 N5 O6

Absolute stereochemistry. Rotation (+).



CM 2

CRN 64-19-7
CMF C2 H4 O2



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

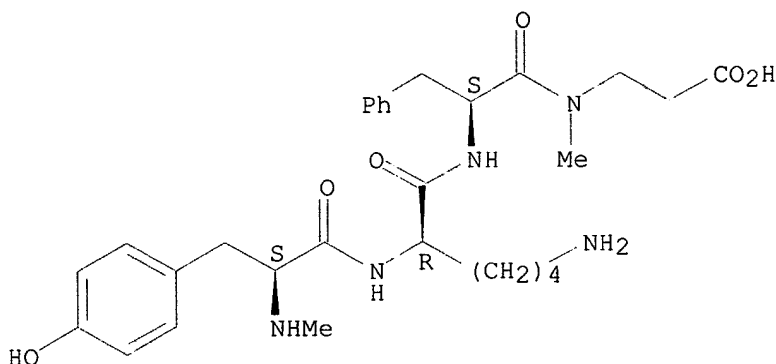
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L20 ANSWER 15 OF 25 REGISTRY COPYRIGHT 2001 ACS
RN 260268-70-0 REGISTRY
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monoacetate (salt) (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C29 H41 N5 O6 . C2 H4 O2
SR CA
LC STN Files: CA, CAPLUS

CM 1

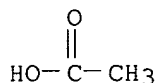
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CMF C29 H41 N5 O6

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



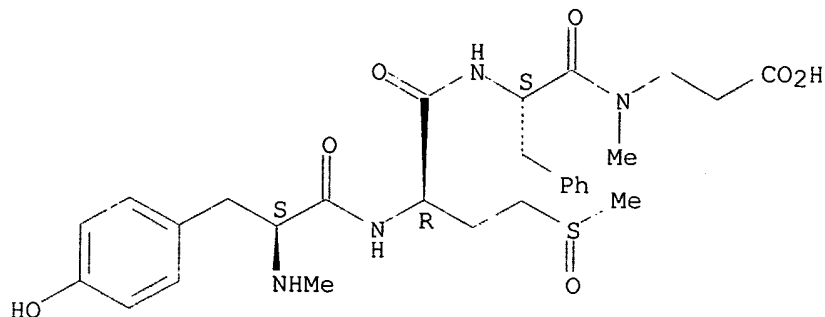
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REFERENCE 1: 132:194667

L20 ANSWER 16 OF 25 REGISTRY COPYRIGHT 2001 ACS
RN 260268-68-6 REGISTRY
CN .beta.-Alanine, N-methyl-L-tyrosyl-(2R)-2-amino-4-(methylsulfinyl)butanoyl-

L-phenylalanyl-N-methyl- (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C28 H38 N4 O7 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

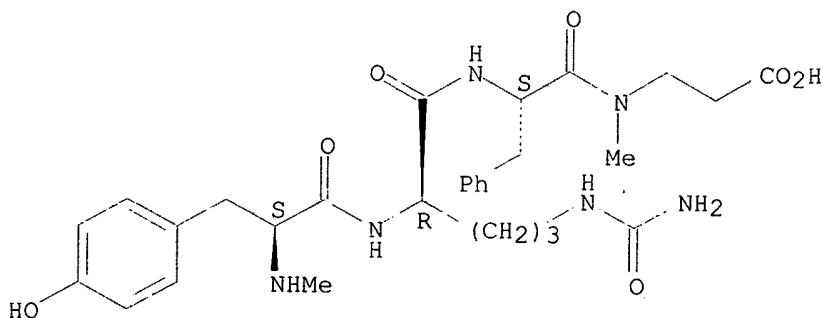


1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 17 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-67-5 REGISTRY
 CN .beta.-Alanine, N-methyl-L-tyrosyl-N5-(aminocarbonyl)-D-ornithyl-L-phenylalanyl-N-methyl- (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C29 H40 N6 O7
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry. Rotation (+).



1 REFERENCES IN FILE CA (1967 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

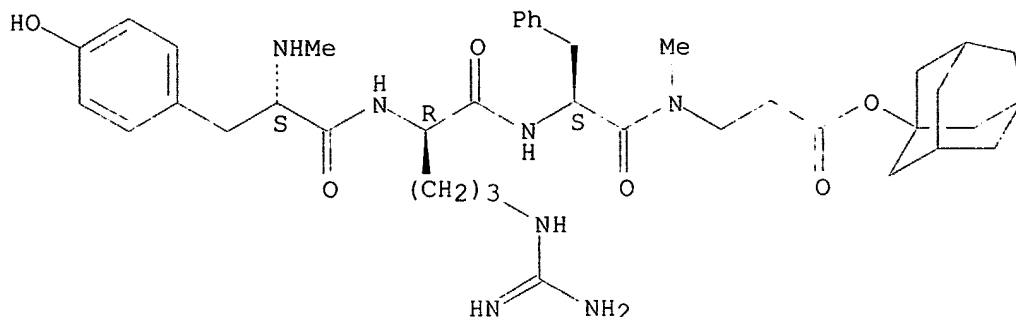
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L20 ANSWER 18 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-65-3 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C39 H55 N7 O6 . 2 C2 H4 O2
 SR CA
 LC STN Files: CA, CAPLUS

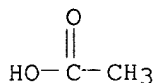
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CRN 260268-64-2
CMF C39 H55 N7 O6

Absolute stereochemistry. Rotation (+).



CM 2

CRN 64-19-7
CMF C2 H4 O21 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 19 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 260268-63-1 REGISTRY

CN .beta.-Alanine, N-methyl-L-tyrosyl-3-[(1-iminoethyl)amino]-D-alanyl-L-phenylalanyl-N-methyl-, diacetate (salt) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C28 H38 N6 O6 . 2 C2 H4 O2

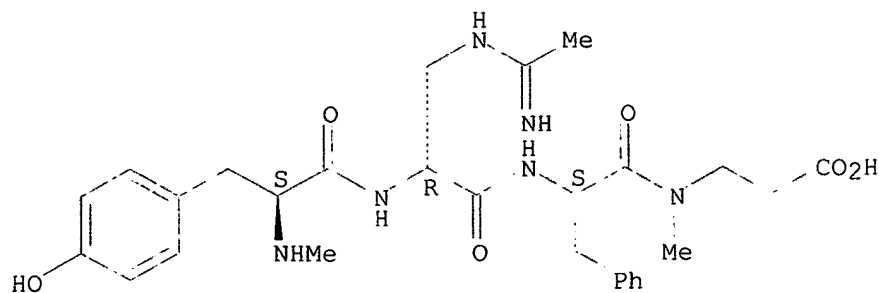
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LC STN Files: CA, CAPLUS

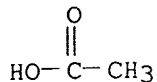
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CMF C28 H38 N6 O6

Absolute stereochemistry. Rotation (+).



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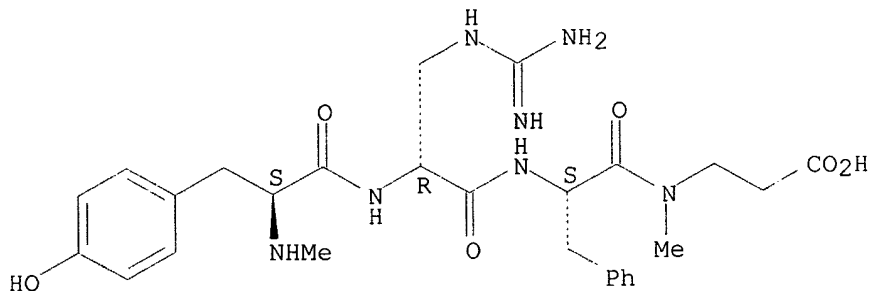
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L20 ANSWER 20 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-61-9 REGISTRY
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 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C27 H37 N7 O6 . 2 C2 H4 O2
 SR CA
 LC STN Files: CA, CAPLUS

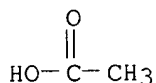
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CRN 260268-60-8
CMF C27 H37 N7 O6

Absolute stereochemistry. Rotation (+).



CM 2

CRN 64-19-7
CMF C2 H4 O21 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

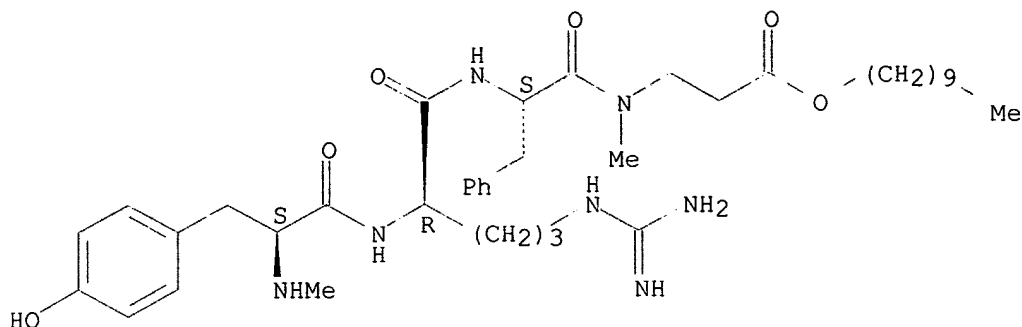
L20 ANSWER 21 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-59-5 REGISTRY
 CN .beta.-Alanine, N-methyl-L-tyrosyl-D-arginyl-L-phenylalanyl-N-methyl-,

decyl ester, monoacetate (salt) (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C39 H61 N7 O6 . C2 H4 O2
 SR CA
 LC STN Files: CA, CAPLUS

CM 1

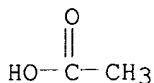
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 CMF C39 H61 N7 O6

Absolute stereochemistry. Rotation (+).



CM 2

CRN 64-19-7
 CMF C2 H4 O2



1 REFERENCES IN FILE CA (1967 TO DATE)
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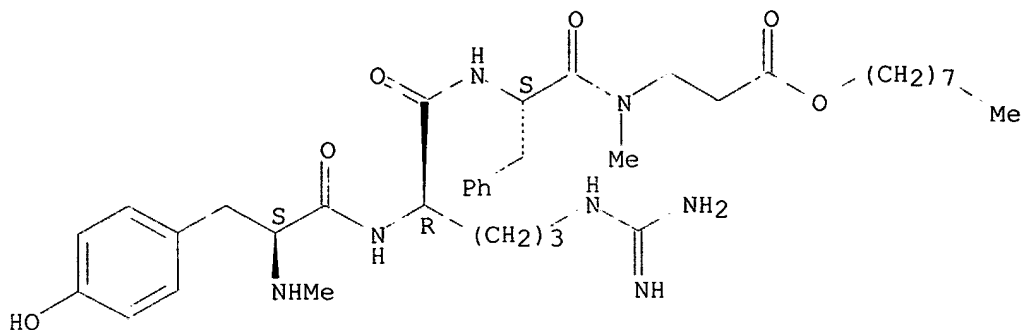
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L20 ANSWER 22 OF 25 REGISTRY COPYRIGHT 2001 ACS
 RN 260268-57-3 REGISTRY
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 octyl ester, monoacetate (salt) (9CI) (CA INDEX NAME)
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 LC STN Files: CA, CAPLUS

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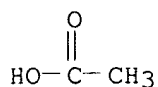
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Absolute stereochemistry. Rotation (+).



CM 2

CRN 64-19-7
CMF C2 H4 O2



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 132:194667

L20 ANSWER 23 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 183552-38-7 REGISTRY

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-D-asparaginyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 5: PN: WO0018423 PAGE: 26 claimed protein

CN Abarelix

CN PPI 149

CN R 3827

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C72 H95 Cl N14 O14

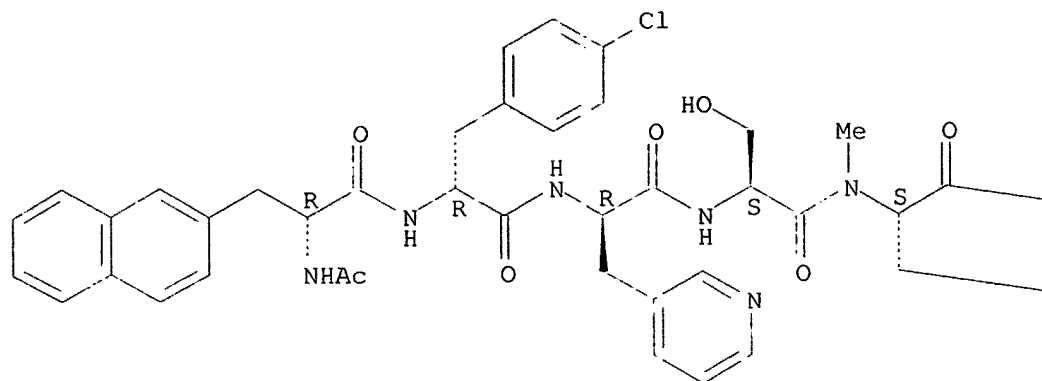
CI COM

SR CAS Registry Services

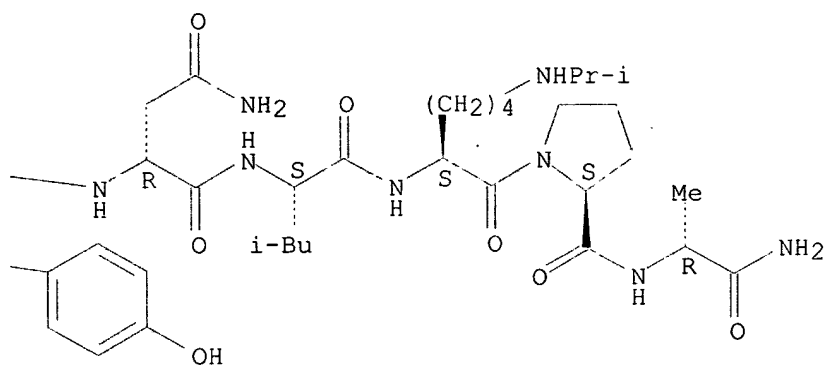
LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CAPLUS, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, PHAR, TOXLINE, TOXLIT, USPATFULL

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



11 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

11 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:261948

REFERENCE 2: 133:182987

REFERENCE 3: 132:284220

REFERENCE 4: 132:141952

REFERENCE 5: 131:319709

REFERENCE 6: 131:317811

REFERENCE 7: 130:162666

REFERENCE 8: 130:148840

REFERENCE 9: 130:33497

REFERENCE 10: 129:86019

L20 ANSWER 24 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 157147-51-8 REGISTRY

CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(3-

pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-,
monoacetate (salt) (9CI) (CA INDEX NAME)

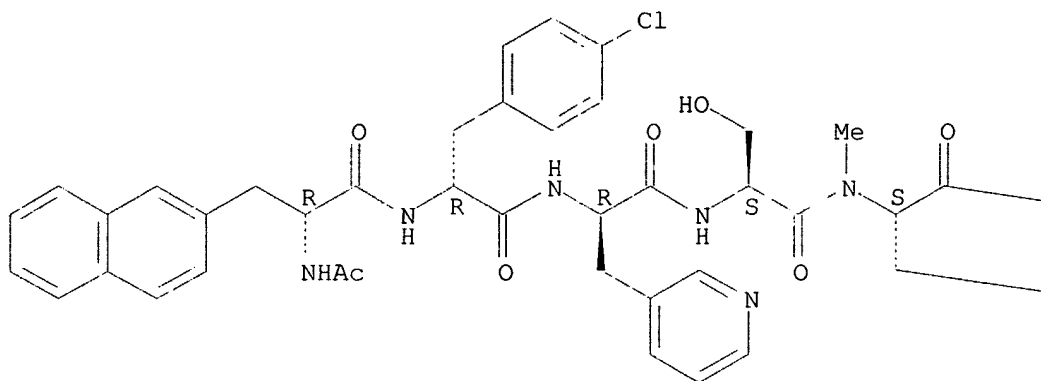
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MF C80 H104 Cl N15 O14 . C2 H4 O2
SR CA
LC STN Files: CA, CAPLUS, TOXLIT, USPATFULL

CM 1

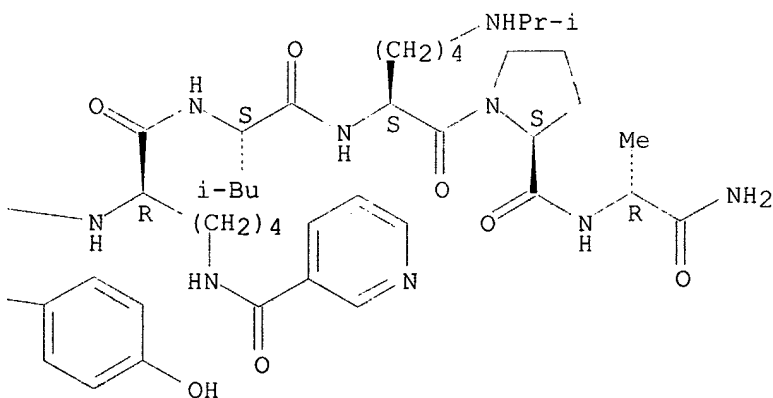
CRN 135215-95-1
CMF C80 H104 Cl N15 O14

Absolute stereochemistry.

PAGE 1-A

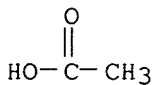


PAGE 1-B



CM 2

CRN 64-19-7
CMF C2 H4 O2



2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 131:189721

REFERENCE 2: 121:141679

L20 ANSWER 25 OF 25 REGISTRY COPYRIGHT 2001 ACS

RN 109210-59-5 REGISTRY

CN L-Methioninamide, L-.alpha.-aspartyl-L-phenylalanyl-L-phenylalanyl-N-methyl-L-phenylalanylglycyl-L-leucyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN [MePhe7]-Neurokinin B(4-10)

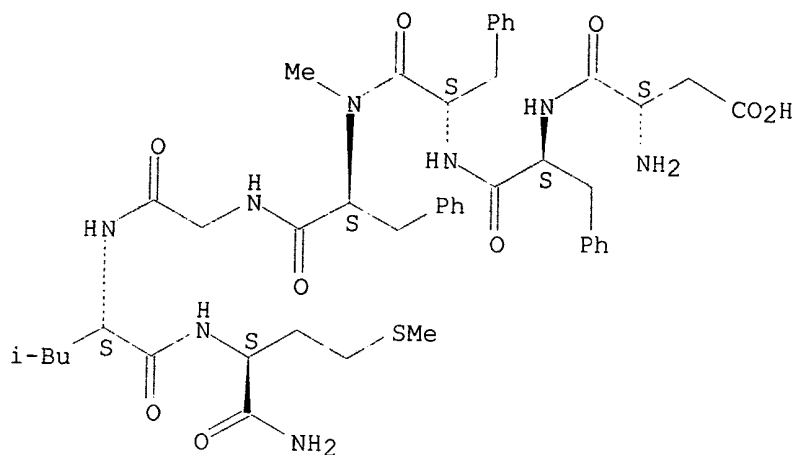
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C45 H60 N8 O9 S

SR CA

LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.



10 REFERENCES IN FILE CA (1967 TO DATE)

10 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 115:128163

REFERENCE 2: 114:75307

REFERENCE 3: 114:957

REFERENCE 4: 111:127694

REFERENCE 5: 111:71105

REFERENCE 6: 109:86916

REFERENCE 7: 108:88521

REFERENCE 8: 108:1188

REFERENCE 9: 107:168939

REFERENCE 10: 107:33350